

optionally substituted heteroaryl-, optionally substituted heterocyclyl-, acyl-, alkoxycarbonyl-, sulfanyl-, sulfinyl and sulfonyl-, e.g., diethylamino, methylsulfonylamino, furanyl-oxy-sulfonamino.

**[0084]** Aminocarbonyl- refers to the group  $\text{—NR}^c\text{COR}^b$ ,  $\text{—NR}^c\text{CO}_2\text{R}^a$ , or  $\text{—NR}^c\text{CONR}^b\text{R}^c$ , where

**[0085]**  $\text{R}^1$  is optionally substituted  $\text{C}_1\text{—C}_6$  alkyl-, aryl-, heteroaryl-, aryl- $\text{C}_1\text{—C}_4$  alkyl-, or heteroaryl- $\text{C}_1\text{—C}_4$  alkyl-group;

**[0086]**  $\text{R}^b$  is H or optionally substituted  $\text{C}_1\text{—C}_6$  alkyl-, heteroaryl-, aryl- $\text{C}_1\text{—C}_4$  alkyl-, or heteroaryl- $\text{C}_1\text{—C}_4$  alkyl-group; and

**[0087]**  $\text{R}^c$  is hydrogen, alkyl-, aryl- or heteroaryl-; and where each optionally substituted  $\text{R}^b$  group is independently unsubstituted or substituted with one or more substituents independently selected from  $\text{C}_1\text{—C}_4$  alkyl-, aryl-, heterocyclyl-, aryl- $\text{C}_1\text{—C}_4$  alkyl-, heteroaryl- $\text{C}_1\text{—C}_4$  alkyl-,  $\text{C}_1\text{—C}_4$  haloalkyl-,  $\text{—OC}_1\text{—C}_4$  alkyl-,  $\text{—OC}_1\text{—C}_4$  alkylphenyl-,  $\text{—C}_1\text{—C}_4$  alkyl-OH-,  $\text{—OC}_1\text{—C}_4$  haloalkyl-, halogen-,  $\text{—OH}$ -,  $\text{—NH}_2$ -,  $\text{—NR}^c\text{C}(\text{NR}^b)(\text{NR}^b\text{R}^c)$  (i.e. guanidine),  $\text{—NR}^c\text{CR}^b\text{NR}^b\text{R}^c$ -,  $\text{—CNR}^c\text{NR}^b\text{R}^c$ -,  $\text{—C}_1\text{—C}_4$  alkyl- $\text{NH}_2$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkylphenyl})$ -,  $\text{—NH}(\text{C}_1\text{—C}_4\text{ alkylphenyl})$ -, cyano, nitro, oxo (as a substituent for heteroaryl),  $\text{—CO}_2\text{H}$ -,  $\text{—C}(\text{O})\text{OC}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—CON}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—CONH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—CONH}_2$ -,  $\text{—NHC}(\text{O})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NH-C}(\text{O})(\text{phenyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})\text{C}(\text{O})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})\text{C}(\text{O})(\text{phenyl})$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ phenyl}$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ haloalkyl}$ -,  $\text{—OC}(\text{O})\text{C}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—SO}_2(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—SO}_2(\text{phenyl})$ -,  $\text{—SO}_2(\text{C}_1\text{—C}_4\text{ haloalkyl})$ -,  $\text{—SO}_2\text{NH}_2$ -,  $\text{—SO}_2\text{NH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—SO}_2\text{NH}(\text{phenyl})$ -,  $\text{—NHSO}_2(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NHSO}_2(\text{phenyl})$ -, and  $\text{—NHSO}_2(\text{C}_1\text{—C}_4\text{ haloalkyl})$ .

**[0088]** Antimitotic refers to a drug for inhibiting or preventing mitosis, for example, by causing metaphase arrest. Some antitumour drugs block proliferation and are considered antimitotics.

**[0089]** Aryl and heteroaryl mean a 5- or 6-membered aromatic or heteroaromatic ring containing 0 or 1-4 heteroatoms, respectively, selected from O, N, or S; a bicyclic 9- or 10-membered aromatic or heteroaromatic ring system containing 0 or 1-4 (or more) heteroatoms, respectively, selected from O, N, or S; or a tricyclic 12- to 14-membered aromatic or heteroaromatic ring system containing 0 or 1-4 (or more) heteroatoms, respectively, selected from O, N, or S. The aromatic 6- to 14-membered carbocyclic rings include, e.g., phenyl-, naphthyl-, indanyl-, tetralinyl-, and fluorenyl and the 5- to 10-membered aromatic heterocyclic rings include, e.g., imidazolyl-, pyridinyl-, indolyl-, thienyl-, benzopyranonyl-, thiazolyl-, furanyl-, benzimidazolyl-, quinolinyl-, isoquinolinyl-, quinoxalinyl-, pyrimidinyl-, pyrazinyl-, tetrazolyl and pyrazolyl-.

**[0090]** Aralkyl- refers to a residue in which an aryl moiety is attached to the parent structure via an alkyl residue. Examples include benzyl-, phenethyl-, phenylvinyl-, phenylallyl and the like. Heteroaralkyl- refers to a residue in which a heteroaryl moiety is attached to the parent structure via an alkyl residue. Examples include furanylmethyl-, pyridinylmethyl-, pyrimidinylethyl and the like.

**[0091]** Aralkoxy- refers to the group  $\text{—O-aralkyl}$ . Similarly, heteroaralkoxy- refers to the group  $\text{—O-het-}$

eroaralkyl-; aryloxy- refers to the group  $\text{—O-aryl-}$ ; acyloxy- refers to the group  $\text{—O-acyl-}$ ; heteroaryloxy- refers to the group  $\text{—O-heteroaryl-}$ ; and heterocycloxy- refers to the group  $\text{—O-heterocyclyl}$  (i.e., aralkyl-, heteroaralkyl-, aryl-, acyl-, heterocyclyl-, or heteroaryl is attached to the parent structure through an oxygen).

**[0092]** Carboxyalkyl- refers to the group  $\text{-alkyl-COOH}$ .

**[0093]** Carboxamido refers to the group  $\text{—CONR}^b\text{R}^c$ , where

**[0094]**  $\text{R}^b$  is H or optionally substituted  $\text{C}_1\text{—C}_6$  alkyl-, aryl-, heteroaryl-, aryl- $\text{C}_1\text{—C}_4$  alkyl-, or heteroaryl- $\text{C}_1\text{—C}_4$  alkyl-group; and

**[0095]**  $\text{R}^c$  is hydrogen, alkyl-, aryl- or heteroaryl-; and

**[0096]** where each optionally substituted  $\text{R}^b$  group is independently unsubstituted or substituted with one or more substituents independently selected from  $\text{C}_1\text{—C}_4$  alkyl-, aryl-, heterocyclyl-, aryl- $\text{C}_1\text{—C}_4$  alkyl-, heteroaryl- $\text{C}_1\text{—C}_4$  alkyl-,  $\text{C}_1\text{—C}_4$  haloalkyl-,  $\text{—OC}_1\text{—C}_4$  alkyl-,  $\text{—OC}_1\text{—C}_4$  alkylphenyl-,  $\text{—C}_1\text{—C}_4$  alkyl-OH-,  $\text{—OC}_1\text{—C}_4$  haloalkyl-, halogen-,  $\text{—OH}$ -,  $\text{—NH}_2$ -,  $\text{—C}_1\text{—C}_4$  alkyl- $\text{NH}_2$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkylphenyl})$ -,  $\text{—NH}(\text{C}_1\text{—C}_4\text{ alkylphenyl})$ -, cyano, nitro, oxo (as a substituent for heteroaryl),  $\text{—CO}_2\text{H}$ -,  $\text{—C}(\text{O})\text{OC}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—CON}(\text{C}_1\text{—C}_4\text{ alkyl})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—CONH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—CONH}_2$ -,  $\text{—NHC}(\text{O})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NHC}(\text{O})(\text{phenyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})\text{C}(\text{O})(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—N}(\text{C}_1\text{—C}_4\text{ alkyl})\text{C}(\text{O})(\text{phenyl})$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ phenyl}$ -,  $\text{—C}(\text{O})\text{C}_1\text{—C}_4\text{ haloalkyl}$ -,  $\text{—OC}(\text{O})\text{C}_1\text{—C}_4\text{ alkyl}$ -,  $\text{—SO}_2(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—SO}_2(\text{phenyl})$ -,  $\text{—SO}_2(\text{C}_1\text{—C}_4\text{ haloalkyl})$ -,  $\text{—SO}_2\text{NH}_2$ -,  $\text{—SO}_2\text{NH}(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—SO}_2\text{NH}(\text{phenyl})$ -,  $\text{—NHSO}_2(\text{C}_1\text{—C}_4\text{ alkyl})$ -,  $\text{—NHSO}_2(\text{phenyl})$ -, and  $\text{—NHSO}_2(\text{C}_1\text{—C}_4\text{ haloalkyl})$ . Carboxamido is meant to include carbamoyl-, lower-alkyl carbamoyl-, benzylcarbamoyl-, phenylcarbamoyl-, methoxymethyl-carbamoyl-; and the like.

**[0097]** Halogen or halo refers to fluorine, chlorine, bromine or iodine. Fluorine, chlorine and bromine are preferred. Dihaloaryl-, dihaloalkyl-, trihaloaryl etc. refer to aryl and alkyl substituted with the designated plurality of halogens (here, 2, 2 and 3, respectively), but not necessarily a plurality of the same halogen; thus 4-chloro-3-fluorophenyl is within the scope of dihaloaryl-.

**[0098]** Heterocyclyl means a cycloalkyl or aryl residue in which one to four of the carbons is replaced by a heteroatom such as oxygen, nitrogen or sulfur. Examples of heterocycles that fall within the scope of the invention include azetidiny-, imidazoliny-, pyrrolidinyl-, pyrazolyl-, pyrrolyl-, indolyl-, quinolinyl-, isoquinolinyl-, tetrahydroisoquinolinyl-, benzofuranyl-, benzodioxanyl-, benzodioxyl (commonly referred to as methylenedioxyphenyl-, when occurring as a substituent), tetrazolyl-, morpholinyl-, thiazolyl-, pyridinyl-, pyridazinyl-, piperidinyl-, pyrimidinyl-, thienyl-, furanyl-, oxazolyl-, oxazolinyl-, isoxazolyl-, dioxanyl-, tetrahydrofuranyl and the like. “N-heterocyclyl” refers to a nitrogen-containing heterocycle. The term heterocyclyl encompasses heteroaryl-, which is a subset of heterocyclyl-. Examples of N-heterocyclyl residues include azetidiny-, 4-morpholinyl-, 4-thiomorpholinyl-, 1-piperidinyl-, 1-pyrrolidinyl-, 3-thiazolidinyl-, piperazinyl and 4-(3,4-dihydrobenzoxazinyl). Examples of substituted heterocyclyl include 4-methyl-1-piperazinyl and 4-benzyl-1-piperidinyl-.